Translation

PATENT COOPERATION TREATY

PCT/JP2003/011570

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 3095WO0P	FOR FURTHER ACTION	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/JP2003/011570	International filing date (*day/month/year*) 10 September 2003 (10.09.2003)	Priority date (*day/month/year*) 11 September 2002 (11.09.2002)
International Patent Classification (IPC) or national classification and IPC A61K 45/00, 31/472, 38/55, 47/30, 47/38, 31/425, A61P 3/10		
Applicant TAKEDA CHEMICAL INDUSTRIES, LTD.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 7 sheets, including this cover sheet.

☐ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of _____ sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☒ Certain observations on the international application

Date of submission of the demand	Date of completion of this report
06 October 2003 (06.10.2003)	04 August 2004 (04.08.2004)
Name and mailing address of the IPEA/JP Facsimile No.	Authorized officer Telephone No.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.
PCT/JP2003/011570

I. Basis of the report

1. With regard to the elements of the international application:*

☒ the international application as originally filed

☐ the description:

pages _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____

☐ the claims:

pages _____, as originally filed
pages _____, as amended (together with any statement under Article 19
pages _____, filed with the demand
pages _____, filed with the letter of _____

☐ the drawings:

pages _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____

☐ the sequence listing part of the description:

pages _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.
These elements were available or furnished to this Authority in the following language _____ which is:

☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).

☐ the language of publication of the international application (under Rule 48.3(b)).

☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages _____
☐ the claims, Nos. _____
☐ the drawings, sheets/fig _____

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**

* *Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).*

** *Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.*

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

ational application No.
PCT/JP2003/011570

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application.

☒ claims Nos. 14

because:

☒ the said international application, or the said claims Nos. 14
relate to the following subject matter which does not require an international preliminary examination *(specify):*

SEE SUPPLEMENTAL SHEET

☐ the description, claims or drawings *(indicate particular elements below)* or said claims Nos. _____
are so unclear that no meaningful opinion could be formed *(specify)*:

☐ the claims, or said claims Nos. _____ are so inadequately supported
by the description that no meaningful opinion could be formed.

☒ no international search report has been established for said claims Nos. 14 .

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the standard.

☐ the computer readable form has not been furnished or does not comply with the standard.

Supplemental Box
(To be used when the space in any of the preceding boxes is not sufficient)

Continuation of: III.1

Claim 14 pertains to a method for the treatment of the human body by therapy, and thus relates to a subject matter for which this International Preliminary Examining Authority is not required to carry out an international preliminary examination under the provisions of PCT Article 34(4)(a)(i) and PCT Rule 67.1(iv).

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.
PCT/EP 03/11570

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-13	YES
	Claims		NO
Inventive step (IS)	Claims		YES
	Claims	1-13	NO
Industrial applicability (IA)	Claims	1-13	YES
	Claims		NO

2. Citations and explanations

Documents cited in the international search report:

Document 1: WO 01/72290 A2
Document 2: J. A. Pospisilik et al., Diabetes, April 2002, 51 (4), pp. 943-950
Document 3: WO 01/22941 A1
Document 4: WO 00/21525 A2
Document 5: WO 99/58114 A1
Document 6: EP 284849 A1
Document 7: WO 02/062764 A1
Document 8: WO 01/047557 A1
Document 9: JP 2002-179554 A
Document 10: EP 1110541 A1

Claims 1-4 and 9-13

Documents 1 and 2 indicate the chronic administration of DPP-IV inhibitors such as P32/98 when using said inhibitors for the treatment of diabetes, and document 1 further suggests configuring a sustained-release preparation. In addition, documents 3 to 6 disclose the feature of using a hydrophilic polymer to prepare a sustained-release preparation. Therefore, it would be easy for a person skilled in the art to use a hydrophilic polymer to prepare a sustained-release

preparation which comprises one of the DPP-VI inhibitors that are disclosed in document 1.

In addition, it would be easy for a person skilled in the art to employ other well-known compounds, such as those that are disclosed in document 7, as the DPP-IV inhibitor.

Claims 5-8

In the technical field in question, it is common practice to achieve desired kinetic characteristics *in-vivo* by combining rapid-release preparations and sustained-release preparations, as disclosed in documents 8 to 10. Therefore, a person skilled in the art could configure a DPP-IV inhibitor by combining a rapid-release preparation and a sustained-release agent, as appropriate.

VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

Claims 1-13 pertain to sustained-release preparations (claims 1-4), medicinal drugs (claims 5-8) and controlled-release agents (claims 9-13) that comprise a compound that is defined by means of a desired property, i.e. being a "dipeptidyl peptidase IV inhibitor," as the active ingredient. Claims 1-13 include all compounds that exhibit such a property; however, only an extremely small portion of the claimed compounds are disclosed in the meaning of PCT Article 5. Thus, the abovementioned claims cannot be considered to be fully supported by the disclosures of the description in the meaning of PCT Article 6.

Furthermore, even with consideration of common technical knowledge at the time of filing, it is impossible to specify the scope of compounds that exhibit the property of being a "dipeptidyl peptidase IV inhibitor;" therefore, claims 1-13 do not conform to the requirement of clarity as stipulated in PCT Article 6.